

(12) INTERNATIONAL APPLICATION PUBLISHED UNDER THE PATENT COOPERATION TREATY (PCT)

(19) World Intellectual Property
Organization
International Bureau



(43) International Publication Date
4 November 2004 (04.11.2004) ✓

PCT

(10) International Publication Number
WO 2004/094430 A1 ✓

- (51) International Patent Classification⁷: C07D 487/14, 513/06, A61K 31/55, 31/554
- (21) International Application Number: PCT/EP2004/004244
- (22) International Filing Date: 21 April 2004 (21.04.2004)
- (25) Filing Language: English
- (26) Publication Language: English
- (30) Priority Data:
0309221.0 23 April 2003 (23.04.2003) GB
- (71) Applicant (for all designated States except US): **GLAXO GROUP LIMITED** [GB/GB]; Glaxo Wellcome House, Berkeley Avenue, Greenford Middlesex UB6 0NN (GB).
- (72) Inventors; and
- (75) Inventors/Applicants (for US only): **DEMONT, Emmanuel, Hubert** [FR/GB]; GlaxoSmithKline, The Frythe, Welwyn Hertfordshire AL6 9AR (GB). **REDSHAW, Sally** [GB/GB]; GlaxoSmithKline, The Frythe, Welwyn Hertfordshire AL6 9AR (GB). **WALTER, Daryl, Simon** [GB/GB]; GlaxoSmithKline, The Frythe, Welwyn Hertfordshire AL6 9AR (GB).
- (74) Agent: **GIBSON, Mark**; GlaxoSmithKline (CIP-CN925.1), 980 Great West Road, Brentford Middlesex TW8 9GS (GB).
- (81) Designated States (unless otherwise indicated, for every kind of national protection available): AE, AG, AI, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW.
- (84) Designated States (unless otherwise indicated, for every kind of regional protection available): ARIPO (BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW), Eurasian (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European (AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR), OAPI (BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG).
- Published:
— with international search report
- For two-letter codes and other abbreviations, refer to the "Guidance Notes on Codes and Abbreviations" appearing at the beginning of each regular issue of the PCT Gazette.



WO 2004/094430 A1

(54) Title: TRICYCLIC INDOLE DERIVATIVES AND THEIR USE IN THE TREATMENT OF ALZHEIMER'S DISEASE

(57) Abstract: The present invention relates to novel hydroxyethylamine compounds having Asp2 (β -secretase, BACE1 or Memapsin) inhibitory activity, processes for their preparation, to compositions containing them and to their use in the treatment of diseases characterised by elevated β -amyloid levels or β -amyloid deposits, particularly Alzheimer's disease.